



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6: C07D 401/06, A61K 31/495, C07D 401/12, 401/14, 403/06, 403/14, 241/04, A61K 31/535, 31/54, C07D 417/14, 413/06, A61K 31/55, C07D 413/12,

(11) International Publication Number:

WO 98/57954

(43) International Publication Date:

23 December 1998 (23.12.98)

(21) International Application Number:

PCT/JP98/02613

 $\mathbf{A1}$

(22) International Filing Date:

15 June 1998 (15.06.98)

(30) Priority Data:

407/06

PO 7359

17 June 1997 (17.06.97)

AU

(71) Applicant (for all designated States except US): FUJI-SAWA PHARMACEUTICAL CO., LTD. [JP/JP]; 4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, 541-8514 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): MIYAKE, Hiroshi [JP/JP]; 86, Jodojinishidacho, Sakyo-ku, Kyoto-shi, Kyoto 606–8417 (JP). TAKE, Kazuhiko [JP/JP]; 3-3-2-201, Kouyoudai, Tondabayashi-shi, Osaka 584-0082 (JP). SHIGENAGA, Shinji [JP/JP]; 7-3-75-103, Yokoo, Suma-kn, Kobe-shi, Hyogo 654-0131 (JP). AZAMI, Hidenori (JP/JP); 3-5-2-1105, Sumiregaoka/Takarazuka-shi, Hyogo 665-0847 (JP). SASAKI, Hiroshi [JP/JP]; 2-30-12, Gotenyama, Takarazuka-shi, Hyogo 665-0841 (JP). EIKYU, Yoshiteru [JP/JP]; 559-1-303, Hourencho, Nara-shi, Nara 630-8113 (JP). NAKAI, Kazuo [JP/JP]: 1-27-18-503, Mukonosohigashi, Amagasaki-shi, Hyogo 661-0032 (JP). ISHIDA, Junya [JP/JP]; 2-2-10-202, Midorigaoka, Ikeda-shi, Osaka 563-0026 (JP). MANABE, Takashi [JP/JP]; 1-2-103, Maruyamadai, Kawanishi-shi, Hyogo 666-0152 (JP). KONISHI, Nobukiyo [JP/JP]; 22-7, Aotanai, Nagaokakyo-shi, Kyoto 617-0811 (JP). TERASAKA, Tadashi [JP/JP]; 4-7-21-A, Hata, Ikeda-shi, Osaka 563-0021 (JP).

(74) Agent: SEKI, Hideo; Fujisawa Pharmaceutical Co., Ltd., Osaka Factory, 1-6, Kashima 2-chome, Yodogawa-ku, Osaka-shi, Osaka 532-8514 (JP).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published

With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments

(54) Title: AROYL-PIPERAZINE DERIVATIVES, THEIR PREPARATION AND THEIR USE AS TACHYKININ ANTAGONISTS

(57) Abstract

This invention relates to piperazine derivatives of formula (I), wherein Y is bond or lower alkylene, R1 is aryl which may have substituent(s), R2 is aryl or indolyl, each of which may have substituent(s), R³ is hydrogen or lower alkyl, and R⁴ is as defined in the description, and its pharmaceutically acceptable salt, to processes for preparation thereof, to pharmaceutical composition comprising the same, and to a use of the same for treating or preventing Tachykinin-mediated diseases in human beings or animals.

$$R^{1-C-N} \xrightarrow{Y-R^{2}} N-R^{4}$$
 (1)